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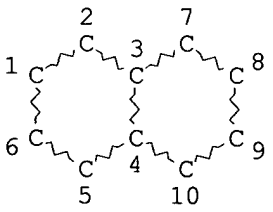

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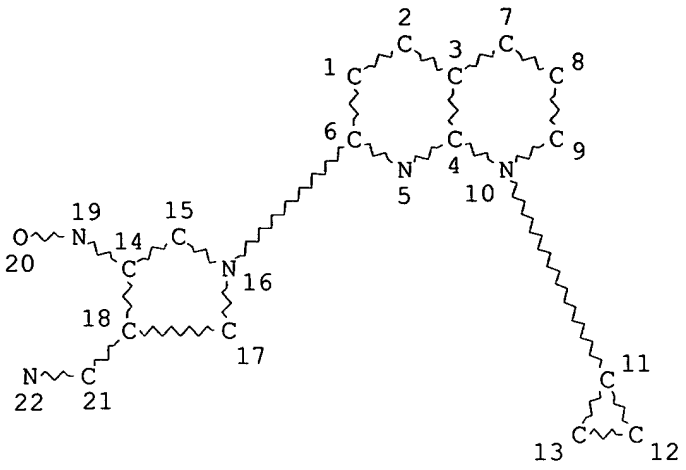

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100.0% PROCESSED 6 ITERATIONS 5 ANSWERS
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
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PROJECTED ITERATIONS: 6 TO 266
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
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PROJECTED ITERATIONS: 6 TO 266
PROJECTED ANSWERS: 5 TO 234

L3 5 SEA SSS SAM L1

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L5            13 L4/P

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L5 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2003 ACS
2003:117704 Document No. 138:172243 Processes for the production of amino-protected derivatives of 4-aminomethylene-pyrrolidin-3-one and/or 4-aminomethylene-pyrrolidin-3-alkoxyimino derivatives and/or gemifloxacin or a salt thereof. Brechtelsbauer, Clemens Michael Helmut; Carpenter, Stephen Thomas; Grinter, Trevor John; Harris, Michael Anthony; Kim, Yeongdae; Kwon, Youngwoon; Lee, Dongchul; Ricard, Francois Xavier; Saunders, Richard Neville (SB Pharmco Puerto Rico Inc., USA; LG Chem Investment Ltd.). PCT Int. Appl. WO 2003011450 A1 20030213, 78 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-GB3585 20020802. PRIORITY: GB 2001-18938 20010802; GB 2002-17637 20020730.
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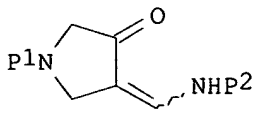

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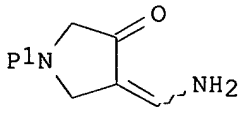

II

AB The invention provides a process for the prodn. of a compd. of formula (I): wherein P1 and P2, which may be the same or different, are amino protecting groups, which comprises protection of a compd. of formula (II) in soln. phase continuous operation mode. This confers advantages over batch mode operation. The process is usually conducted in reaction equipment adapted for use in continuous processing mode, for example comprising one or more static mixers or a plug flow reactor. Preferably, the plug flow reactor comprises a jacketed tubular reactor fitted inside with internal mixing elements which continually split and premix the reaction streams promoting mass and heat transfer, whereby a uniform plug flow profile with turbulent fluid flow is achieved. The invention also provides a process for prodn. of the antibacterial compd. gemifloxacin or a pharmaceutically acceptable salt and/or hydrate thereof, comprising converting a compd. of formula (I).

L5 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2003 ACS

2001:693322 Document No. 135:242153 A process for the preparation of pyrrolidino-quinoline-carboxylic acid derivatives (e.g. gemifloxacin) with improved filtration. Kim, Bong Chan (LG Chem Investment Ltd., S. Korea; Kim, Yeong Dae; Choi, Hoon; Kim, Won Sup). PCT Int. Appl. WO 2001068649 A1 20010920, 17 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-KR399 20010314. PRIORITY: KR 2000-13011 20000315.

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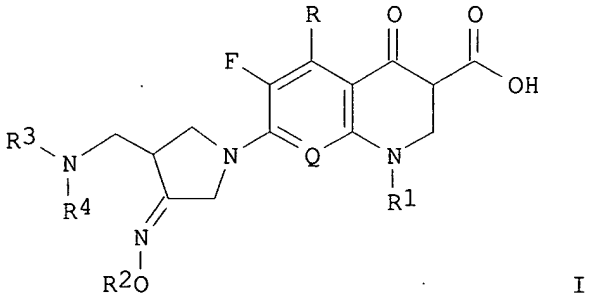

I

AB The present invention relates to a novel process for prepg. quinoline carboxylic acid antimicrobials I (e.g. gemifloxacin) [Q = CH, CF, CCl, COH, COMe, N; R = H, Me, NH2; R1 = cyclopropyl, Et, substituted-Ph; R2 = H, alkyl, aryl, allyl; R3, R4 = H, alkyl, or together with the N atom to which they are attached form a cycle]. For instance, Et3N, methylcellulose (1.0 wt. % relative to pyrrolidine reactant) and 4-aminomethyl-3-methoxyiminopyrrolidine were added sequentially to an aq. soln. of 3-carboxy-7-chloro-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro[1,8]naphthyridine and allowed to stir at room temp. for 16.5 h. The resulting mixt. was filtered on a glass filter and the product washed. Addn. of the surfactant, methylcellulose, resulted in a faster filtration, 5 min vs. 9 min (scale, 34 - 37 g product). Other process parameters evaluated included sequence of addn. of reagents, variation of reaction temp. and surfactant.

L5 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2003 ACS

2001:380574 Document No. 135:5534 Preparation of quinolonecarboxylates as bactericides and parasiticides. Abdul-Rahman, Shoaa (New Pharma Research

Sweden AB, Swed.). PCT Int. Appl. WO 2001036408 A1 20010525, 123 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2000-SE2217 20001113. PRIORITY: SE 1999-4108 19991115.

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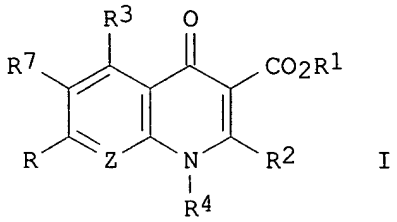

I

AB Title compds. [I; R = NR5R6; R1 = H, (fluoro)alkyl, alkoxyalkyl, etc.; R2,R3 = H, (oxa)alkyl, (di)(alkyl)amino, alkanoyl(oxy), (hetero)aryl, etc.; R4-R6 = groups cited for R2, etc.; NR5R6 = heterocyclyl; R7 = F, Cl, cyano, CO2R1, arylamino, etc.; Z = N or CR9; R9 = groups cited for R2; R4R9 = atoms to complete a ring] were prepd. Thus, 2,4-dichloro-5-fluoroacetophenone was converted in 5 steps to I (R1-R3 = H, R4 = cyclopropyl, R7 = F, Z = CH)(II; R = Cl) which was aminated by 4-picolylamine to give II (R = 4-pyridinylmethylamino). Data for biol. activity of I were given.

L5 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2003 ACS

2001:185757 Document No. 134:222702 Process for production of naphthyridine-3-carboxylic acid derivatives. Cho, Sungwook; Choi, Hoon; Hayler, John David (Sb Pharmco Puerto Rico Inc., USA; Lg Chemical Ltd.). PCT Int. Appl. WO 2001018002 A1 20010315, 12 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-GB3366 20000901. PRIORITY: GB 1999-20917 19990903.

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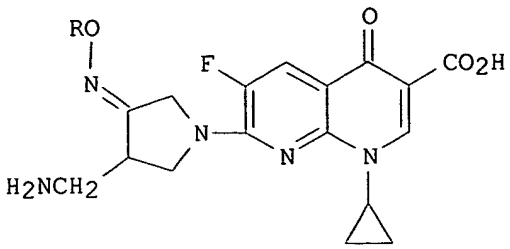

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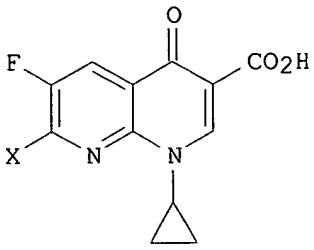

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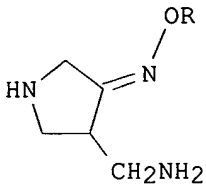

III

AB Title compds. I (R = alkyl, haloalkyl) were prepd. by reaction of II (X = a leaving atom/group) with III or its salt. Thus, 5.1 mL Et3N was added to 3.05 g II (X = Cl) in 25 mL water at 15-20.degree., and the mixt. was stirred for 20 min, after which 3.86 g 4-(aminomethyl)-3-(methoxyimino)pyrrolidinium dimethanesulfonate was added, followed by 5 mL water, and the mixt. was stirred at 20-25.degree. for 17.75 h to give 4.23 g syn-I (R = Me).

L5 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2003 ACS

2001:185719 Document No. 134:222700 Preparation of intermediates for the production of naphthyridinecarboxylic acid-derivative antibiotics. Grinter, Trevor John; Howie, Simon (SB Pharmco Puerto Rico Inc., USA). PCT Int. Appl. WO 2001017961 A2 20010315, 9 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-GB3358 20000901. PRIORITY: GB 1999-20919 19990903.

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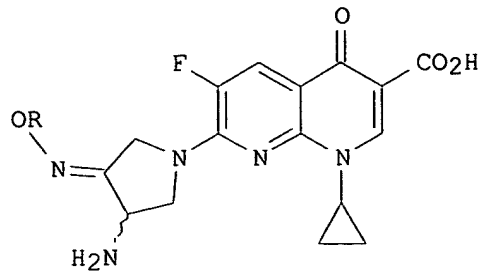

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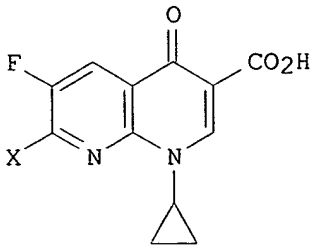

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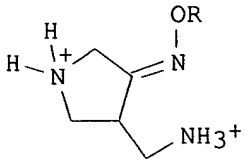

2 $MeSO_2^-$ III

AB Quinolonecarboxylic acid-deriv. antibiotics (I; R = C1-4 alkyl, C1-4 haloalkyl) are prepd. in high yield and selectivity by the reaction of fluoroquinolonecarboxylic acids (II; X = leaving group) with 4-(aminomethyl)-3-(alkoxyimino)pyrrolidinium dimethanesulfonates (III). Thus, triethylamine was added to 7-chloro-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid, the mixt. stirred and 4-(aminomethyl)-3-(methoxyimino)pyrrolidinium dimethanesulfonate added, producing 7-(3-aminomethyl-4-syn-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid in 86% yield.

L5 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2003 ACS

2000:842133 Document No. 134:17486 Preparation of optically active 7-(pyrrolidin-1-yl)quinolinecarboxylates and -naphthyridinecarboxylates as antibacterials.. Yoon, Sung June; Chung, Yong Ho; Lee, Chi Woo; Lee, Jin Soo; Kim, Nam Doo; Jin, Yoon Ho; Song, Wan Jin; Kim, Ik Hoe; Yang, Wang Yong; Choi, Dong Rack; Shin, Jung Han (Dong Wha Pharm. Ind. Co., Ltd., S. Korea). PCT Int. Appl. WO 2000071541 A1 20001130, 74 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-KR487 20000518. PRIORITY: KR 1999-18158 19990520; KR 2000-24657 20000509.

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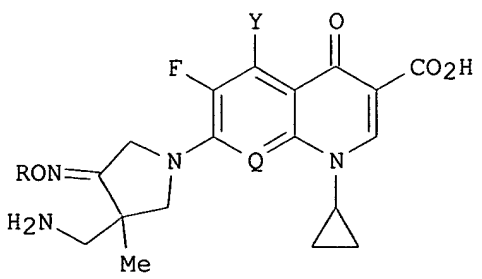

I

AB Title compds. (I; Q = CH, CF, CCl, N; Y = H, NH2; R = alkyl, allyl, PhCH2), were prepd. Thus, (+)-7-(4-aminomethyl-4-methyl-3-oxopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid hydrochloride (prepn. given) was stirred with methoxylamine hydrochloride in pyridine for 4 h to give 97.5% (-)-7-(4-aminomethyl-4-methyl-3-(Z)-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid hydrochloride. This showed a min. inhibitory concn. of 0.025 .mu.g/mL against Streptococcus pyogenes 308A.

L5 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2003 ACS

1999:576910 Document No. 131:201515 Process for preparing a protected 4-aminomethylpyrrolidin-3-one. Moon, Kwang Yul; Kim, Won Sup; Lee, Tae Hee; Chang, Jay Hyok (LG Chemical Ltd., S. Korea). PCT Int. Appl. WO 9944991 A1 19990910, 30 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-KR99 19990304. PRIORITY: KR 1998-7079 19980304; KR 1998-43636 19981019.

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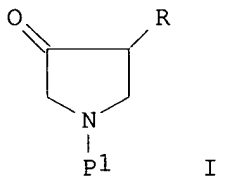

I

AB Protected 4-aminomethyl-3-pyrrolidinones I (R = CH2NHP2, P1, P2 = protecting groups) are manufd. by hydrogenating I (R = CN, P1 = same as in I) in the presence of a Raney-nickel catalyst in a solvent, reacting the resulting aminomethylene deriv. with a compd. to form P2, and selective redn. of the double bond attached to the ring. This process does not cause formation of an OH group at the 3-position, and the products are useful in the manuf. of quinolone antibiotics. Thus, hydrogenating 20 kg 1-(N-tert-butoxycarbonyl)-4-cyano-3-pyrrolidinone in MeOH-NH4OH in the presence of a Raney-nickel catalyst, reacting intermediate with Li tert-butoxide in PhMe at .ltoreq.-10.degree., adding di-tert-Bu dicarbonate to complete the reaction, and hydrogenating the 2nd intermediate in PrOH 24 in the presence of Bu3N and a Pd catalyst gave I (R = NHCOCMe3, P2 = COCMe3) quant.

L5 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2003 ACS

1999:108189 Document No. 130:133551 SB-265805/LB-20304a: fluoronaphthyridinecarboxylic acid antibacterial. Graul, A.; Castaner, J. (Prous Science, Barcelona, 08080, Spain). Drugs of the Future, 23(11), 1199-1204 (English) 1998. CODEN: DRFUD4. ISSN: 0377-8282. Publisher: Prous Science.

AB A review, with refs., of the synthesis, pharmacol., pharmacokinetics and metab. of LB-20304a (a fluoronaphthyridinecarboxylic acid deriv.) (developed by LG Chem.); (later, LB-20304a was given the new code name SB-265805).

L5 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2003 ACS

1999:104541 Document No. 130:168355 Preparation of 7-(4-aminomethyl-3-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid and related compounds as antibacterials.. Hong, Chang Yong; Kim, Young Kwan; Kim, Se Ho; Chang, Jay Hyok; Choi, Hoon; Nam, Do Hyun; Kim, Ae Ri; Lee, Jin Hwa; Park, Ki Sook (LG Chemical Ltd., S. Korea). U.S. US 5869670 A 19990209, 67 pp., Cont. of U.S. Ser. No. 490,978. (English). CODEN: USXXAM. APPLICATION: US 1998-49024 19980327. PRIORITY: KR 1994-13604 19940616; KR 1994-39915 19941230; KR 1994-39930 19941230; US 1995-490978 19950615; US 1997-825992 19970404.

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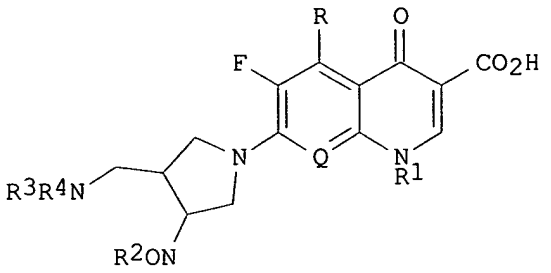

I

AB Title compds. [I; R = H, Me, amino; Q = CH, CF, CCl, COH, CMe, COMe, N; R1 = cyclopropyl, Et, fluorophenyl; R2 = H, alkyl, cyclopropyl, cyclopropylmethyl, alkynyl, 2-haloethyl, methoxymethyl, methoxycarbonylmethyl, aryl, alkyl, (substituted) PhCH2, pyridylmethyl, etc.; R3, R4 = H, alkyl; R3R4N = ring], were prepd. Thus, 1-cyclopropyl-7-chloro-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid, 4-aminomethylpyrrolidin-3-one O-methyloxime bistrifluoroacetate, and DBU were refluxed in MeCN to give 85% 7-(4-aminomethyl-3-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid. The latter inhibited Staphylococcus aureus 6538p with a min. inhibitory concn. of .ltoreq.0.008 .mu.g/mL.

L5 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2003 ACS

1998:677801 Document No. 129:293896 Salt of naphthyridine carboxylic acid derivative.. Kim, Ae Ri; Lee, Jin Hwa; Park, Ki Sook; Choi, Jong Ryoo; Lee, Tae Hee; Chang, Jay Hyok; Nam, Do Hyun; Choi, Hoon (LG Chemical Ltd., S. Korea). PCT Int. Appl. WO 9842705 A1 19981001, 49 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-KR51 19980320. PRIORITY: KR 1997-9840 19970321.

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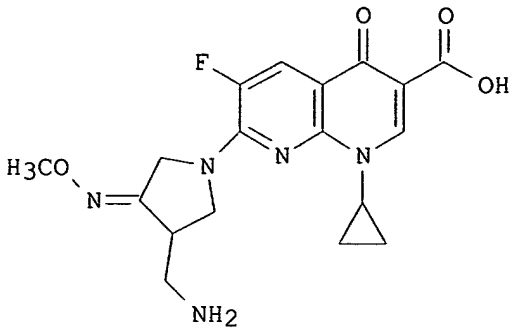

I

AB 7-(3-Aminomethyl-4-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid (I) methanesulfonate and hydrates were prepd., as were pharmaceutical compns. comprising them, and they were used for antibacterial therapy. I methanesulfonate-1.5H2O and I methanesulfonate-3H2O were prepd., x-ray diffraction carried out, and stability and antibacterial activity detd.

L5 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2003 ACS
1998:471466 Document No. 129:122580 Preparation of quinoline(or naphthyridine)-3-carboxylic acids such as 7-(4-aminomethyl-3-methyloxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid as antibacterials. Hong, Chang Yong; Kim, Young Kwan; Kim, Se Ho; Chang, Jay Hyok; Choi, Hoon; Nam, Do Hyun; Kim, Ae Ri; Lee, Jin Hwa; Park, Ki Sook (LG Chemical Ltd., S. Korea). U.S. US 5776944 A 19980707, 64 pp., Cont.-in-part of U. S. 5,633,262. (English). CODEN: USXXAM. APPLICATION: US 1997-825992 19970404. PRIORITY: KR 1994-13604 19940616; KR 1994-39915 19941230; KR 1994-39930 19941230; US 1995-490978 19950615.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R = H, Me, NH2; Q = CH, CF, CCl, C(OH), C(Me), C(OMe), N; R1 = cyclopropyl, Et, (un)substituted Ph; R2 = H, C1-4 alkyl, cyclopropyl, etc.; R3, R4 = H, C1-3 alkyl; R3R4N = a ring], having an excellent antibacterial activity, were prepd. More specifically, the present invention relates to 7-(4-aminomethyl-3-methyloxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid (II) or its isomers, which was prepd. by reacting a quinolone III [X = halo] with a pyrrolidine oxime IV in the presence of an acid acceptor. (Z)-II isomer has a superior antibacterial activity to the (E)-II isomer (as the free form or as its methanesulfonate) with, e.g., MIC of .ltoreq. 0.008 .mu.g/mL against Staphylococcus aureus 6538p.

L5 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2003 ACS
1997:638458 Document No. 127:307319 Novel Fluoroquinolone Antibacterial Agents Containing Oxime-Substituted (Aminomethyl)pyrrolidines: Synthesis and Antibacterial Activity of 7-(4-(Aminomethyl)-3-(methoxyimino)pyrrolidin-1-yl)-1-cyclopropyl -6-fluoro-4-oxo-1,4-dihydro[1,8]naphthyridine-3-carboxylic Acid (LB20304). Hong, Chang Yong; Kim, Young Kwan; Chang, Jay Hyok; Kim, Se Ho; Choi, Hoon; Nam, Do Hyun; Kim, Yong Zu; Kwak, Jin Hwan (Biotech Research Institute, LG Chem Research Park, Tae-Jon, 305-380, S. Korea). Journal of Medicinal Chemistry, 40(22), 3584-3593 (English) 1997. CODEN: JMCMAR. ISSN: 0022-2623. Publisher: American Chemical Society.

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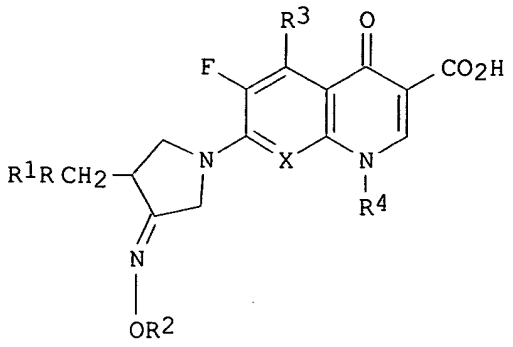

I

AB Title compds. I [X = CF, CCl, CH, COMe, N; R, R1 = H, Me; R2 = Me, Pr, CHMe2, CMe3, CH2Ph, Ph; R3 = H, NH2; R4 = Et, cyclopropyl, 2,4-F2C6H3] were prepd. from the quinolone and the pyrrolidinone fragments. These fluoroquinolones possess potent antimicrobial activity against both Gram-neg. and Gram-pos. organisms, including methicillin-resistant Staphylococcus aureus (MRSA). The activity imparted to the substituted quinolone nucleus by the C-8 substituent was in the order F (C5-NH2) > F (C5-H) > naphthyridine > Cl = OMe = H against Gram-pos. organisms. In the case of Gram-neg. strains, activity was in the order F (C5-NH2) > naphthyridine = F (C5-H) > H > Cl > OMe. The advantages provided by the newly introduced oxime group of the quinolones were clearly demonstrated by their comparison to a desoximino compd. In addn., the oxime moiety greatly improved the pharmacokinetic parameters of the novel quinolones. LB20304 (I, X = N, R, R1, R3 = H, R2 = Me, R4 = cyclopropyl) showed the best in vivo efficacy and pharmacokinetic profile in animals, as well as good phys. properties.

L5 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2003 ACS

1996:237481 Document No. 124:289515 Preparation of novel 7-[(4-aminomethyl-3-alkoxyimino)pyrrolidin-1-yl]quinoline-3-carboxylic acid derivatives as antibacterial agents. Kwak, Jin Hwan; Jeong, Yi Na; Oh, Jeong In (LG Chemical Ltd., S. Korea). Eur. Pat. Appl. EP 688772 A1 19951227, 92 pp. DESIGNATED STATES: R: CH, DE, DK, FR, GB, IT, LI, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1995-250143 19950614. PRIORITY: KR 1994-13604 19940616; KR 1994-39915 19941230; KR 1994-39930 19941230.

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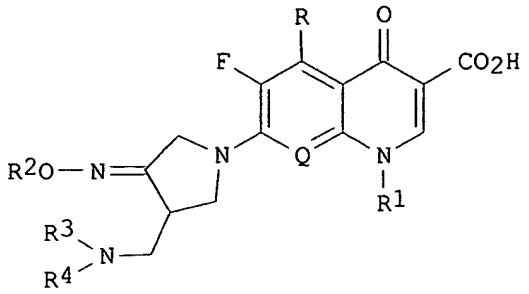

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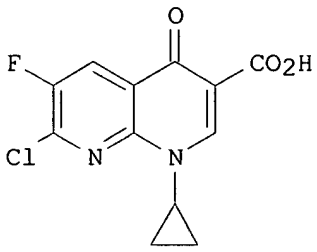

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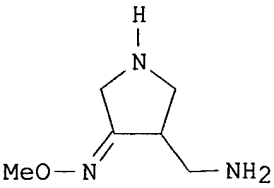

III

AB Title compds. I [Q = CH, CF, CCl, C(OH), C(Me), C(OMe), N; R = H, Me, NH2; R1 = cyclopropyl, Et, fluoro-substituted phenyl; R2 = H, C1-C4 alkyl, C3-C6 alkynyl, (substituted) benzyl, etc.; R3, R4 = H, C1-C3 alkyl, etc.] were prepd. Reaction of II with III.2CF3COOH in the presence of 1,8-diazabicyclo[5.4.0]undec-7-ene in MeCN under reflux afforded 85% I [Q = N; R, R3, R4 = H; R1 = cyclopropyl; R2 = Me] which showed MIC of .ltoreq.0.008 .mu.g/mL against Staphylococcus aureus 6538p, Staphylococcus aureus giorgio and Staphylococcus epidermidis 178 vs. 0.25 .mu.g/mL with Ofloxacin.

=> log h

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	33.48	184.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.46	-8.46

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 13:04:17 ON 17 APR 2003